Filed: 10/28/02

Applicant: Stefan Kwiatkowski et al.
Title: Dichlorinated Heterocyclic

Compounds And Methods Of Synthesis

Atty. Docket No.: CUTLER-08522 Sheet 1 of 7

$$\begin{array}{c} P \\ O = 0 \\ O =$$

$$\begin{array}{c} \mathbf{z} \\ \mathbf{z} \\ \mathbf{z} \\ \mathbf{z} \\ \mathbf{z} \\ \mathbf{z} \end{array} \quad \mathbf{z}$$

$$O = \left\langle \begin{array}{c} \mathbf{F} \\ \mathbf{S} - \mathbf{F} \\ \mathbf{C} \\ \mathbf{$$

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IC ₅₀		127 µM									93.2 µM		.
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*BATCH: REPRESENTS COMPOUNDS TESTED CONCURRENTLY IN THE SAME ASSAY(S).

◆ DENOTES ITEM MEETING THE CRITERIA FOR SIGNIFICANCE

(NEGATIVE VALUES CORRESPOND TO STIMULATION OF BINDING OR ENZYME ACTIVITY) RESULTS WITH≥ 50% STIMULATION OR INHIBITION ARE BOLDFACED.

R = ADDITIONAL COMMENTS

BOV = BOVINE; HUM = HUMAN

FIG. 2A

				Appli Title:		Stefa Dichle Comp Synth	orinat ound	ed H	etero	cyclic	Of		
K ₁ n _H R				Atty.	Dock	et No	.: Cl	JTLEI	R-085	22 S	heet 3	s of 7	
IC ₅₀							127 µM						
†% INHIBITION 00 -50 0 50 100	* * * *	8	Ø	-	N					8	Ŋ	.53	
-100	%	36	22	8	32	69	20	92	09	32	18	9	36
CONC.		30 µM	10 µM	3 µM	100 µМ	100 µM	1000 нМ	300 µM	100 µМ	30 µM	10 µM	3 µM	100 µM
٣		2	0	8	8	8	0	8	8	7	8	7	7
BATCH*SPP.						43974 HUM							43975 BOV
TARGET					154000 PHOSPHODIESTERASE PDE4	156000 PHOSPHODIESTERASE PDE5							156100 PHOSPHODIESTERASE PDE6
CAT.#					154000	156000							156100

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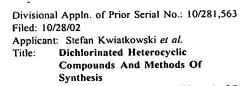
◆ DENOTES ITEM MEETING THE CRITERIA FOR SIGNIFICANCE

(NEGATIVE VALUES CORRESPOND TO STIMULATION OF BINDING OR ENZYME ACTIVITY) RESULTS WITH≥ 50% STIMULATION OR INHIBITION ARE BOLDFACED.

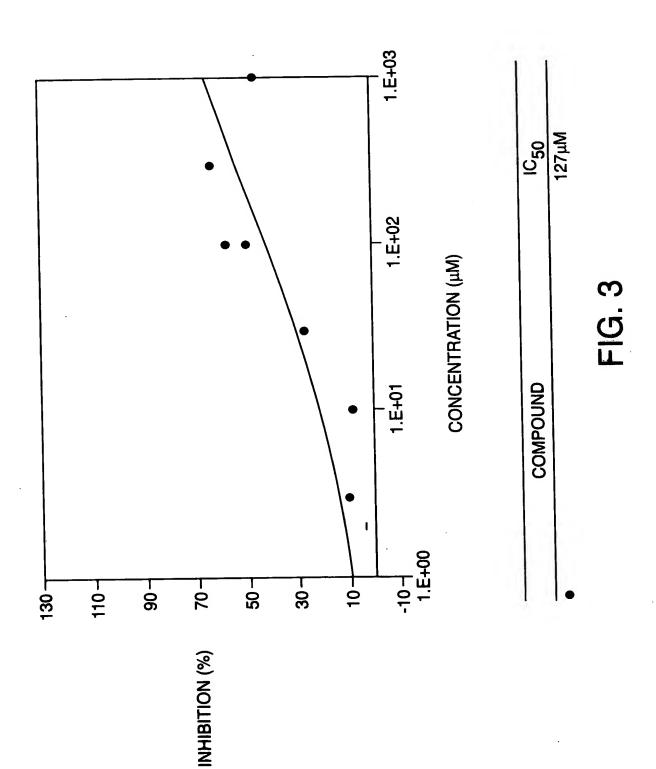
R = ADDITIONAL COMMENTS

BOV = BOVINE; HUM = HUMAN

FIG. 2B



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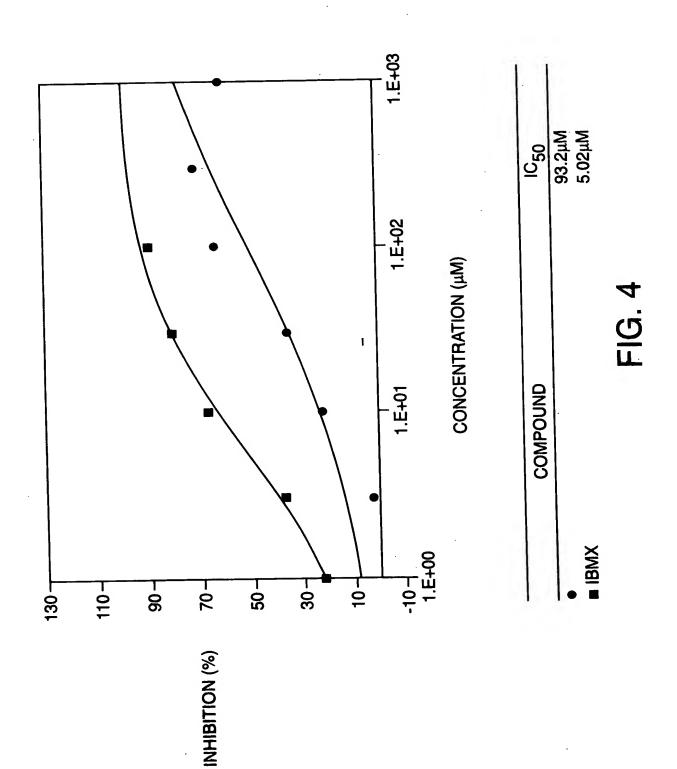
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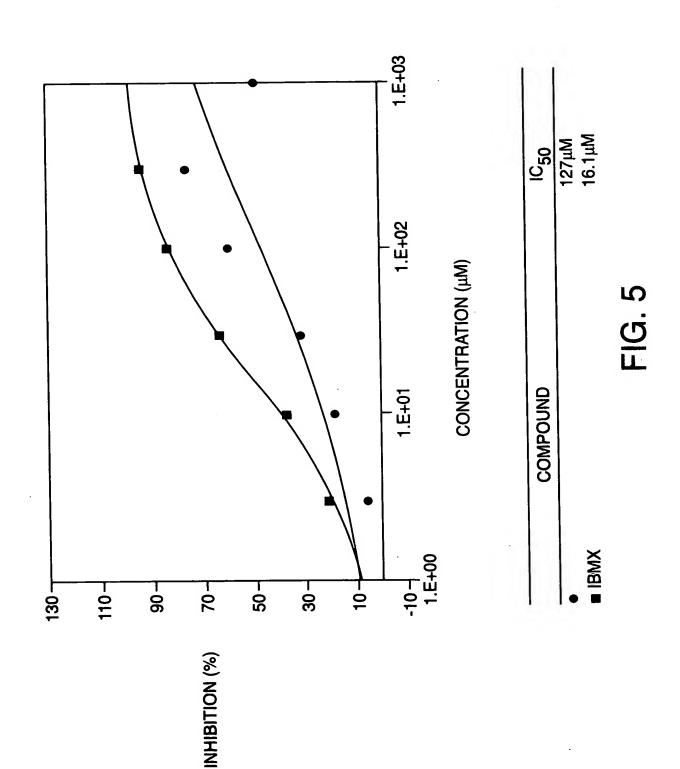


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